8/4/05 10/635,040

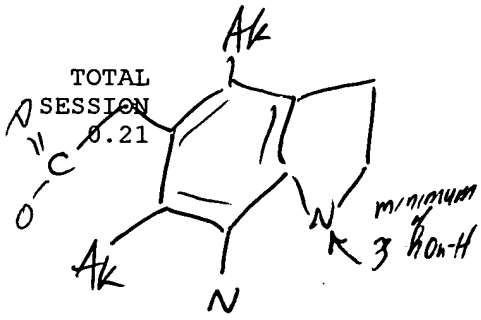
Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * *

1/ CAPLUS Structure Search

FILE 'HOME' ENTERED AT 10:11:35 ON 04 AUG 2005



=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:11:44 ON 04 AUG 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 AUG 2005 HIGHEST RN 858181-56-3
DICTIONARY FILE UPDATES: 3 AUG 2005 HIGHEST RN 858181-56-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

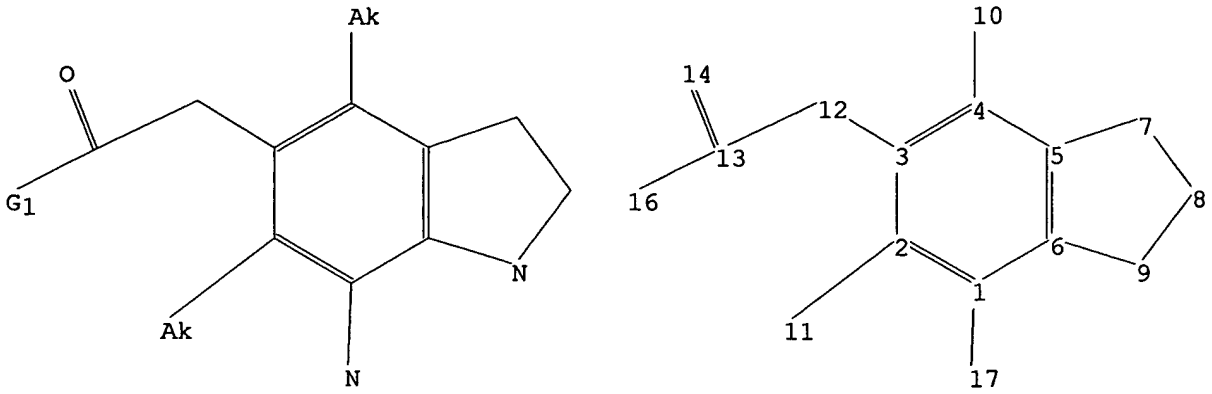
Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10635040\10635040c.str



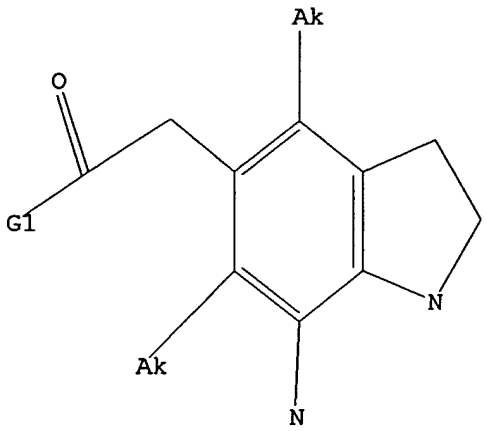
chain nodes :
10 11 12 13 14 16 17
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-17 2-11 3-12 4-10 12-13 13-14 13-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-17 2-11 4-10 5-7 6-9 7-8 8-9 13-14 13-16
exact bonds :
3-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,N

Connectivity :
9:3 M minimum RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s L1
SAMPLE SEARCH INITIATED 10:12:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     28 TO ITERATE

100.0% PROCESSED       28 ITERATIONS                                 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          243 TO      877
PROJECTED ANSWERS:               5 TO      234

L2             5 SEA SSS SAM L1

=> s L1 full
FULL SEARCH INITIATED 10:12:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    500 TO ITERATE

100.0% PROCESSED      500 ITERATIONS                                73 ANSWERS
SEARCH TIME: 00.00.01

L3            73 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     161.33     161.54

FILE 'CAPLUS' ENTERED AT 10:12:34 ON 04 AUG 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 4 Aug 2005 VOL 143 ISS 6
FILE LAST UPDATED: 3 Aug 2005 (20050803/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3
L4 9 L3

=> d ibib abs hitstr

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80529 CAPLUS
DOCUMENT NUMBER: 140:133861
TITLE: ADP antagonists and ACAT inhibitors for treating arteriosclerosis
INVENTOR(S): Asai, Fumitoshi; Inaba, Toshimori; Ogawa, Taketoshi
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

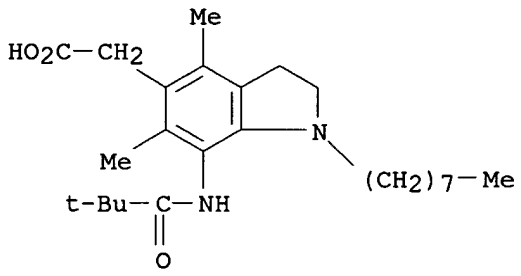
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009119	A1	20040129	WO 2003-JP9108	20030717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004051639	A2	20040219	JP 2003-275276	20030716
CA 2493384	AA	20040129	CA 2003-2493384	20030717
BR 2003012778	A	20050503	BR 2003-12778	20030717
EP 1555032	A1	20050720	EP 2003-765315	20030717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: JP 2002-209165 A 20020718
WO 2003-JP9108 W 20030717

AB A medicinal composition characterized in that an ADP receptor antagonist and an ACAT inhibitor, are administered either simultaneously or sep. at a definite interval. The medicinal composition is useful as a preventive or a remedy for arteriosclerosis or diseases derived from arteriosclerosis, such as ischemic heart disease, ischemic brain disease, and peripheral circulation failure in warm-blooded animals (in particular, humans). For example, pharmacol. activities of 2-acetoxy-5-(α-cyclopropylcarbonyl-2-fluorobenzyl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridine (I) and N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide sulfuric acid salt (II) were studied using rabbits and tablets containing I 10 mg and II 30 mg each were formulated.

IT **189198-30-9 189198-32-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ADP antagonists and ACAT inhibitors for treatment of arteriosclerosis and related disorders thereof)

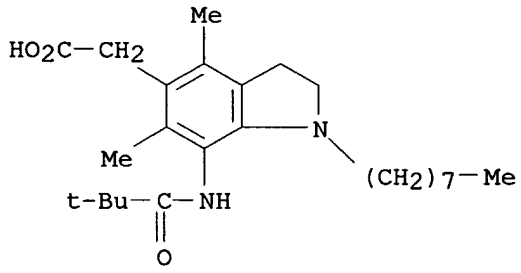
RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



RN 189198-32-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (9CI) (CA INDEX NAME)

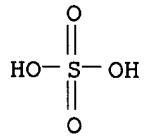
CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

CRN 7664-93-9
CMF H2 O4 S



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2-9

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:818314 CAPLUS
DOCUMENT NUMBER: 139:297051
TITLE: Medicinal composition comprising ACAT inhibitor and insulin resistance improving agent
INVENTOR(S): Inaba, Toshimori; Fujiwara, Toshihiko
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

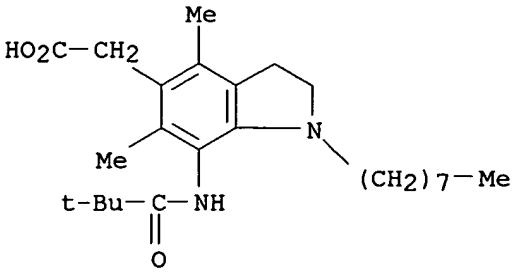
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084572	A1	20031016	WO 2003-JP4296	20030403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481379	AA	20031016	CA 2003-2481379	20030403
BR 2003008871	A	20050104	BR 2003-8871	20030403
EP 1493448	A1	20050105	EP 2003-745697	20030403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2004002365	A2	20040108	JP 2003-101076	20030404
US 2005119314	A1	20050602	US 2004-955896	20040930

PRIORITY APPLN. INFO.:
JP 2002-103134 A 20020405
WO 2003-JP4296 W 20030403

AB It is intended to provide a medicinal composition for preventing or treating arteriosclerosis or diseases caused by arteriosclerosis which comprises an ACAT inhibitor and an insulin resistance improving agent. For example, tablets were formulated containing 5-[[4-[(6-methoxy-1-methyl-1H-benzimidazol-2-yl)methoxy]phenyl]methyl]-2,4-thiazolidinedione hydrochloride 50, N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide hemisulfate 10, lactose 113, starch 25, and Mg stearate 2 mg/tablet.

IT **189198-30-9 608510-47-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal composition comprising ACAT inhibitor and insulin resistance improving agent)

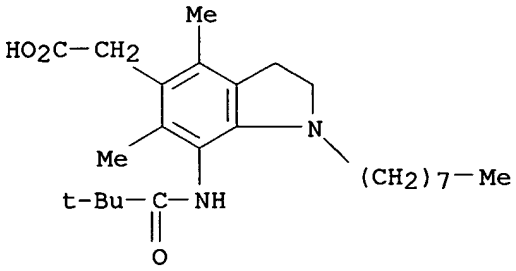
RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



RN 608510-47-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (2:1) (9CI) (CA INDEX NAME)

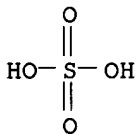
CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

CRN 7664-93-9
CMF H2 O4 S



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:202511 CAPLUS
DOCUMENT NUMBER: 138:226765
TITLE: Medicinal compositions containing angiotensin II receptor antagonists
INVENTOR(S): Sada, Toshio; Inaba, Toshimori
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

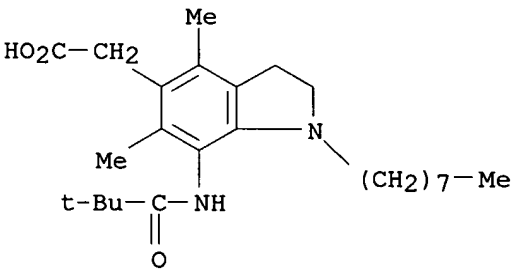
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020315	A1	20030313	WO 2002-JP8629	20020827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2459017	AA	20030313	CA 2002-2459017	20020827
JP 2003146907	A2	20030521	JP 2002-246112	20020827
EP 1421953	A1	20040526	EP 2002-762874	20020827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012254	A	20041019	BR 2002-12254	20020827
US 2004198788	A1	20041007	US 2004-789340	20040226
ZA 2004001603	A	20041019	ZA 2004-1603	20040226
PRIORITY APPLN. INFO.:			JP 2001-257435 A	20010828
			WO 2002-JP8629 W	20020827

AB Disclosed are medicinal compns. for administering an angiotensin II receptor antagonist and an ACAT inhibitor either at the same time or sep. at a certain interval. The compns. are effective for the prevention and treatment of arteriosclerosis and cardiac ischemia. For example, tablets were formulated containing olmesartan 50, N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide 10, lactose 113, starch 25, and Mg stearate 2 mg/each.

IT **189198-30-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. containing angiotensin II receptor antagonist and ACAT inhibitor)

RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:792270 CAPLUS
DOCUMENT NUMBER: 137:310809
TITLE: Preparation of indolines as intermediates for

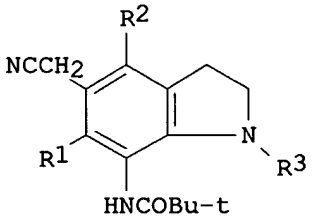
preparation of ACAT inhibitors

INVENTOR(S): Tanabe, Hideo; Oyama, Yuzuru; Kiyota, Hiroshi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

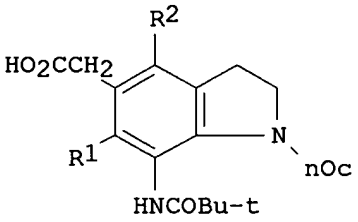
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002302481	A2	20021018	JP 2002-24876	20020201

PRIORITY APPLN. INFO.: JP 2001-26375 A 20010202
OTHER SOURCE(S): MARPAT 137:310809
GI



I



1/2 H_2SO_4

II

AB The compds. I (R1, R2 = lower alkyl; R3 = octyl) or their salts are prepared by deprotection of I (R1, R2 = lower alkyl; R3 = amino-protecting group) or their salts and octylation of I (R1, R2 = lower alkyl; R3 = H) or their salts. Carboxyindolines II (R1, R2 = lower alkyl) are prepared from I (R1, R2 = lower alkyl; R3 = octyl). N-(1-acetyl-5-cyanomethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide was reacted with NaOMe in MeOH under reflux for 6 h, alkylated with octyl bromide in the presence of (iso-Pr)2NEt in xylene under reflux for 12 h, hydrolyzed in the presence of aqueous NaOH in PrOH under reflux for 15 h, and treated with H2SO4 in acetone-H2O mixture to give 83% N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide sulfate.

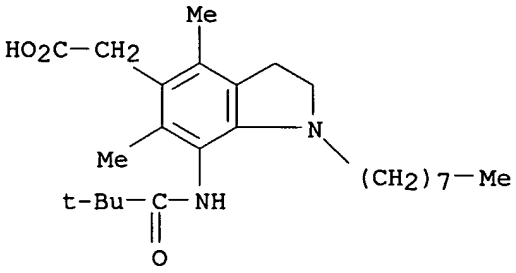
IT **189198-32-1P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolines as intermediates for preparation of ACAT inhibitors)

RN 189198-32-1 CAPLUS

CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (9CI) (CA INDEX NAME)

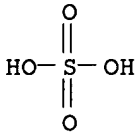
CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

CRN 7664-93-9
CMF H2 O4 S



L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:716126 CAPLUS
DOCUMENT NUMBER: 137:252985
TITLE: Medicinal compositions containing bile acid transporter inhibitor and cholesterol acyltransferase inhibitors
INVENTOR(S): Inaba, Toshimori
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072147	A1	20020919	WO 2002-JP2311	20020312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2002338496	A2	20021127	JP 2002-67841	20020313

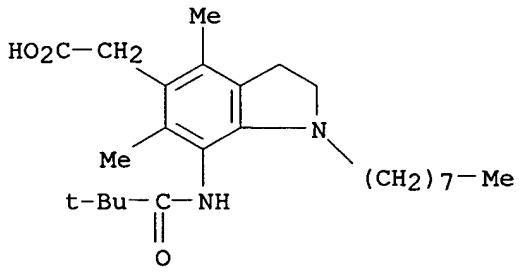
PRIORITY APPLN. INFO.: JP 2001-72050 A 20010314

AB Disclosed are medicinal compns. for administering an ileal bile acid transporter inhibitor and a cholesterol acyltransferase (ACAT) inhibitor either at the same time or sep. at a certain interval. The effect of oral administration of both 4-[3-[(1-(3,5-difluorophenyl)ethylamino)-(4-methoxyphenyl)methyl]phenylamino]-3-hydroxy-3-cyclobutene-1,2-dione (I) and N-(1-octyl-5-carboxymethyl-4,6-dimethylindoline-7-yl)-2,2-dimethylpropaneamide (II) on blood serum triglyceride was prepared Also, a tablet containing I 50, II 30, lactose 368, corn starch 50, magnesium stearate 2 mg was prepared

IT **189198-30-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypolipemic compns. containing bile acid transporter inhibitor and cholesterol acyltransferase inhibitors)

RN 189198-30-9 CAPLUS

CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:615568 CAPLUS

DOCUMENT NUMBER: 137:169415

TITLE: Preparation of indoline derivatives as acyl-coenzyme A:cholesterol acyltransferase inhibitors

INVENTOR(S): Tomori, Hiroshi; Miyamoto, Hiroshi; Fukuhara, Hiroshi; Sonobe, Ryuichi; Miura, Motoko; Shimura, Kazuhiko; Fujimoto, Katsuhiko; Wakayama, Masakazu

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

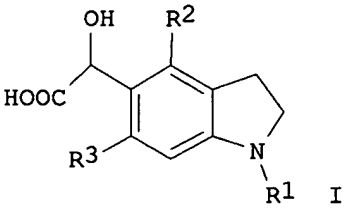
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062758	A1	20020815	WO 2002-JP804	20020201
W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, VN, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2437134	AA	20020815	CA 2002-2437134	20020201
JP 2002302482	A2	20021018	JP 2002-24877	20020201
EP 1364942	A1	20031126	EP 2002-710441	20020201

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR

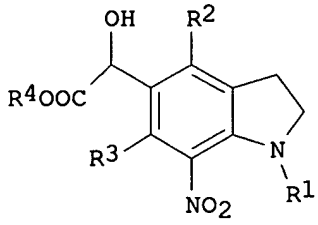
CN 1501914	A	20040602	CN 2002-807883		20020201
RU 2252213	C2	20050520	RU 2003-124060		20020201
US 2004058979	A1	20040325	US 2003-635040		20030731
NO 2003003432	A	20031001	NO 2003-3432		20030801
PRIORITY APPLN. INFO.:			JP 2001-26374	A	20010202
			WO 2002-JP804	W	20020201

OTHER SOURCE(S): CASREACT 137:169415; MARPAT 137:169415

GI



I



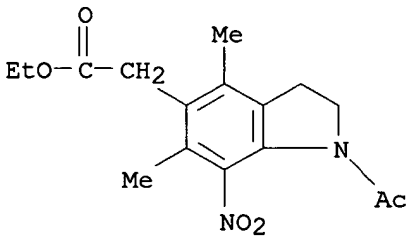
II

AB Novel intermediates such as I and II useful for synthesizing an indoline derivative having excellent acyl-CoA:cholesterol acyltransferase (ACAT) inhibitory activity are prepared (R1 = an amino-protecting group; R2 and R3 = lower alkyl; and R4 = H or a carboxy-protecting group). Reaction of 1-acetyl-4,6-dimethylindoline with glyoxylic acid, hydrogenolysis with Pd-C and esterification with saturated HCl-EtOH solution, followed by nitration, hydrogenation, reaction with pivaloyl chloride, deacetylation, reaction with octyl bromide and base hydrolysis gave N-(5-carboxymethyl-4,6-dimethyl-1-octylindolin-7-yl)-2,2-dimethylpropanamide sulfuric acid salt.

IT **447409-40-7P 447409-42-9P 447409-44-1P**
447409-46-3P 447409-47-4P 447409-48-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(indoline derivative useful for ACAT inhibitor and their preparation)

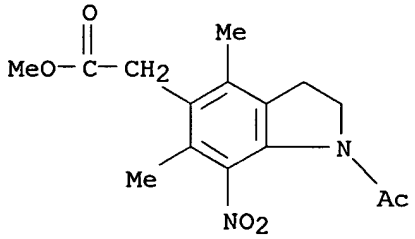
RN 447409-40-7 CAPLUS

CN 1H-Indole-5-acetic acid, 1-acetyl-2,3-dihydro-4,6-dimethyl-7-nitro-, ethyl ester (9CI) (CA INDEX NAME)

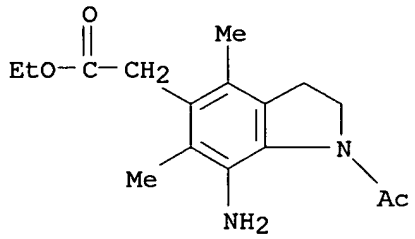


RN 447409-42-9 CAPLUS

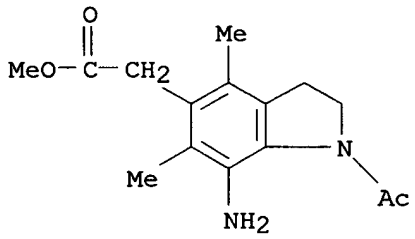
CN 1H-Indole-5-acetic acid, 1-acetyl-2,3-dihydro-4,6-dimethyl-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



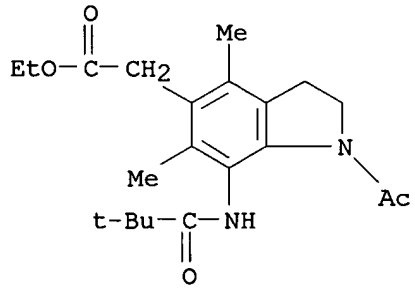
RN 447409-44-1 CAPLUS
CN 1H-Indole-5-acetic acid, 1-acetyl-7-amino-2,3-dihydro-4,6-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



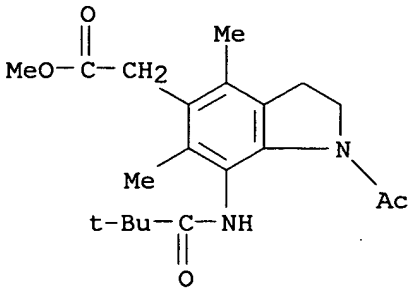
RN 447409-46-3 CAPLUS
CN 1H-Indole-5-acetic acid, 1-acetyl-7-amino-2,3-dihydro-4,6-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 447409-47-4 CAPLUS
CN 1H-Indole-5-acetic acid, 1-acetyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



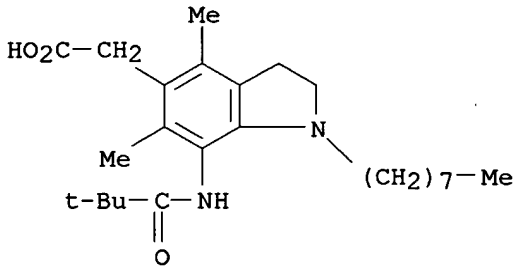
RN 447409-48-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-acetyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



IT **189198-32-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(indoline derivative useful for ACAT inhibitor and their preparation)
RN 189198-32-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (9CI) (CA INDEX NAME)

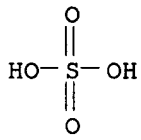
CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

CRN 7664-93-9
CMF H2 O4 S



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:184896 CAPLUS
DOCUMENT NUMBER: 136:236854
TITLE: Medicinal compositions for administration of N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide and HMG-CoA reductase inhibitors
INVENTOR(S): Kohama, Takafumi; Inaba, Toshimori
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020009	A1	20020314	WO 2001-JP7438	20010829
W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, SG, SK, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2001082541	A5	20020322	AU 2001-82541	20010829
CA 2420951	AA	20030228	CA 2001-2420951	20010829
EP 1314423	A1	20030528	EP 2001-961177	20010829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
NZ 524406	A	20040625	NZ 2001-524406	20010829
BR 2001013523	A	20040629	BR 2001-13523	20010829
RU 2246302	C2	20050220	RU 2003-105835	20010829
US 2002055533	A1	20020509	US 2001-943712	20010831
JP 2002145774	A2	20020522	JP 2001-262600	20010831
ZA 2003001543	A	20040609	ZA 2003-1543	20030225
NO 2003000946	A	20030408	NO 2003-946	20030228
US 2004092571	A1	20040513	US 2003-702930	20031105

PRIORITY APPLN. INFO.:	JP 2000-265082	A	20000901
	US 2000-230601P	P	20000906
	WO 2001-JP7438	W	20010829
	US 2001-943712	B1	20010831

AB Disclosed are medicinal compns. for administering N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide or its pharmacol. acceptable salt and an HMG-CoA reductase inhibitor either at the same time or sep. after a definite period of time. Blood lipid-lowering effect of oral administration of N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide sulfate (I) 30 and pravastatin 3 mg/kg in hamsters was examined Also, tablet containing I 30, sodium pravastatin 10, lactose 408, corn starch 50, and magnesium stearate 2 mg was formulated.

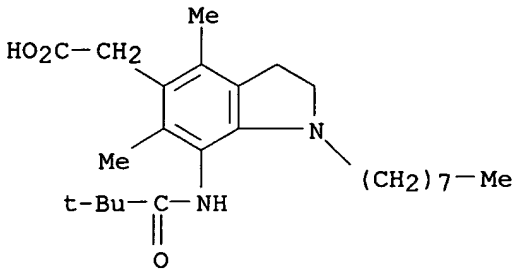
IT **189198-32-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. for administration of N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide and HMG-CoA reductase inhibitors)

RN 189198-32-1 CAPLUS

CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (9CI) (CA INDEX NAME)

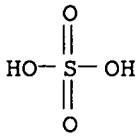
CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3

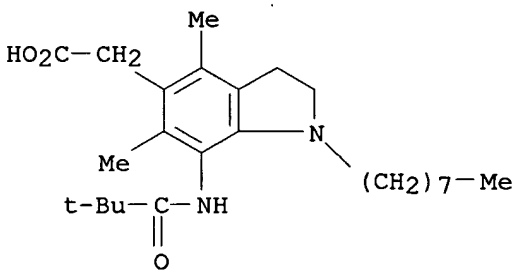


CM 2

CRN 7664-93-9
CMF H2 O4 S



IT **189198-30-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. for administration of N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide and HMG-CoA reductase inhibitors)
RN 189198-30-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



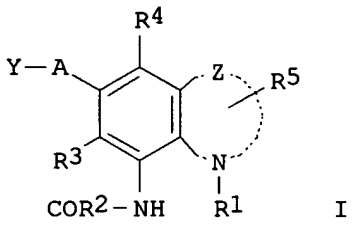
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:113163 CAPLUS
DOCUMENT NUMBER: 136:167280
TITLE: Preparation of 5-carboxymethylindolines
INVENTOR(S): Kamiya, Shoji; Matsui, Hiroshi
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002047269	A2	20020212	JP 2000-233250	20000801
PRIORITY APPLN. INFO.:			JP 2000-233250	20000801
OTHER SOURCE(S):	CASREACT 136:167280; MARPAT 136:167280			

GI



I

AB The compds. I (Y = CO2H; R1 = alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, etc.; R2, R3, R5 = H, lower alkyl, lower alkoxy; R4 = alkyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, etc.; A = alkylene; Z = CH2CH2, CH:CH) or their salts, as ACAT and lipid peroxidn. inhibitors, are prepared by carbamoylation of cyano compds. I (Y = cyano; R1 = protecting group; R2, R3, R5, A, Z = same as above), reaction of I (Y = CONH2; R1 = H; R2, R3, R5, A, Z = same as above) or their salts with R1X (R1 = same as above; X = leaving group), and carboxylation of I (Y = CONH2; R1 = alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, etc.; R2, R3, R5, A, Z = same as above) or their salts. N-(1-acetyl-5-cyanomethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide was treated with NaOH in MeOH under reflux for 20 h and alkylated with n-octyl bromide in DMF in the presence of K2CO3 and KI at 40° for 24 h to give N-(5-carbamoylmethyl-4,6-dimethyl-1-octylindolin-7-yl)-2,2-dimethylpropanamide, which was treated with NaOH in PrOH at 90-100° for 12 h to give 98% N-(5-carboxymethyl-4,6-dimethyl-1-octylindolin-7-yl)-2,2-dimethylpropanamide sulfate .

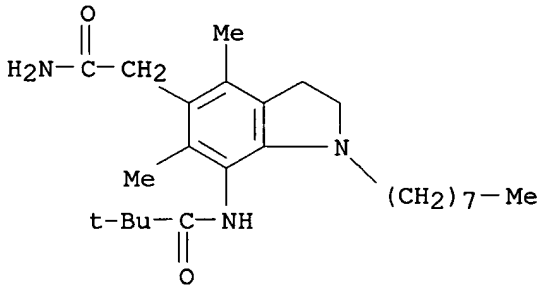
IT **396653-57-9P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carboxymethylindolines)

RN 396653-57-9 CAPLUS

CN 1H-Indole-5-acetamide, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



IT **189198-32-1P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

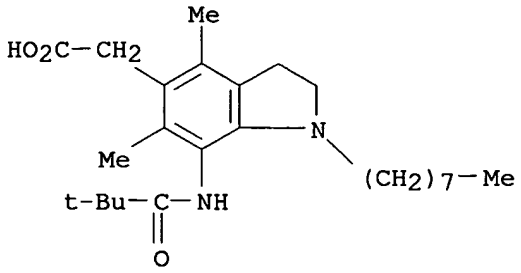
(preparation of carboxymethylindolines)

RN 189198-32-1 CAPLUS

CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (9CI) (CA INDEX NAME)

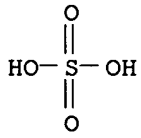
CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

CRN 7664-93-9
CMF H2 O4 S



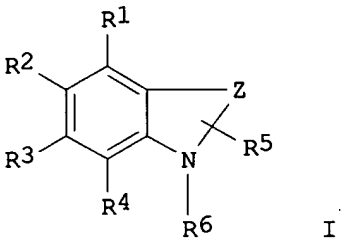
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:326877 CAPLUS
DOCUMENT NUMBER: 126:305540
TITLE: Preparation of benzene-fused heterocyclic derivatives as inhibitors of acyl-coenzyme A:cholesterol acyltransferase (ACAT) and medicinal use thereof
INVENTOR(S): Kamiya, Shoji; Shirahase, Hiroaki; Matsui, Hiroshi; Nakamura, Shohei; Wada, Katsuo
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9712860	A1	19970410	WO 1996-JP2852	19960930
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
CA 2233842	AA	19970410	CA 1996-2233842	19960930

AU 9670977	A1	19970428	AU 1996-70977	19960930
AU 708571	B2	19990805		
EP 866059	A1	19980923	EP 1996-932060	19960930
EP 866059	B1	20011205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1203587	A	19981230	CN 1996-198670	19960930
CN 1097043	B	20021225		
BR 9610846	A	19990713	BR 1996-10846	19960930
JP 2968050	B2	19991025	JP 1996-514152	19960930
RU 2173316	C2	20010910	RU 1998-108605	19960930
IL 123939	A1	20011125	IL 1996-123939	19960930
AT 210116	E	20011215	AT 1996-932060	19960930
ES 2164920	T3	20020301	ES 1996-932060	19960930
PT 866059	T	20020328	PT 1996-932060	19960930
CZ 292632	B6	20031112	CZ 1998-996	19960930
TW 429250	B	20010411	TW 1996-85112125	19961004
NO 9801485	A	19980602	NO 1998-1485	19980401
NO 310818	B1	20010903		
US 6063806	A	20000516	US 1998-51202	19980403
HK 1015781	A1	20030822	HK 1999-100913	19990305
US 6200988	B1	20010313	US 2000-506839	20000218
CN 1361100	A	20020731	CN 2001-142957	20011130
PRIORITY APPLN. INFO.:			JP 1995-259082 A	19951005
			JP 1996-58018 A	19960314
			JP 1996-194331 A	19960724
			WO 1996-JP2852 W	19960930

OTHER SOURCE(S): MARPAT 126:305540

GI



I

AB Heterocyclic derivs. represented by general formula (I; one of R1, R2, and R5 = OH, CO2H, alkoxycarbonyl, NR9R10, or alkyl or alkenyl substituted by OH, acidic group, or NR9R10 and the others = H, lower alkyl or alkoxy; wherein R9, R10 = H, lower alkyl; one of R3 and R4 = NHCOR7 and the other = H, lower alkyl or alkoxy; wherein R7 = alkyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, NHR8; wherein R8 = alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl; R6 = alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, arylalkyl; Z = a linkage group required to form a 5- to 6-membered ring together with NR6 and C atoms of the benzene ring) or pharmaceutically acceptable salts thereof are prepared The compds. or pharmaceutically acceptable salts thereof show excellent effects of inhibiting ACAT and inhibiting the peroxidn. of lipids on mammals and thus are useful as ACAT inhibitors and lipid peroxidn. inhibitors. Namely, they are useful in the prevention and treatment of, for example, arteriosclerosis, hyperlipemia, arteriosclerotic lesions in association with diabetes, and ischemic diseases in brain and heart. Thus, N-(1-acetyl-5-chloromethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide was heated with AcOK in MeCN/DMF at 60° under stirring for 1 h, followed by saponification with NaOH in aqueous EtOH under reflux, to give N-(5-hydroxymethyl-4,6-dimethylindolyl-7-yl)-

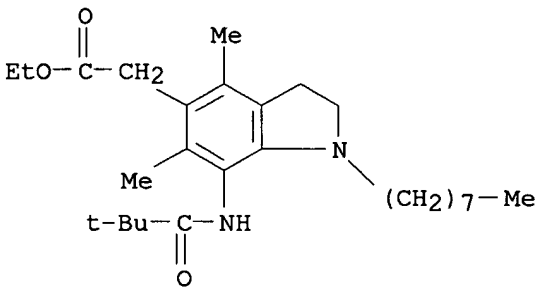
2,2-dimethylpropanamide, which was alkylated by 1-iodooctane in the presence of K2CO3 in DMF to give at 50° for 2 h N-(1-octyl-5-hydroxymethyl-4,6-dimethylindolyl-7-yl)-2,2-dimethylpropanamide (II). II in vitro inhibited by 99.2% the production of cholesteryl oleate from [1-14C]oleoyl CoA in microsome fraction of rabbit small intestinal membrane and at 10 mg/kg per day for 3 days in vivo lowered by 57.1% a total serum cholesterol in rats fed with a high cholesterol diet.

IT **189198-29-6P 189198-30-9P 189198-31-0P**
189198-32-1P 189198-33-2P 189198-34-3P
189198-38-7P 189198-39-8P 189198-40-1P
189198-41-2P 189198-42-3P 189198-43-4P
189198-44-5P 189198-45-6P 189198-46-7P
189198-47-8P 189198-48-9P 189198-49-0P
189198-50-3P 189198-51-4P 189198-52-5P
189198-53-6P 189198-54-7P 189198-55-8P
189198-56-9P 189198-57-0P 189198-58-1P
189198-59-2P 189198-60-5P 189198-61-6P
189198-62-7P 189198-63-8P 189198-64-9P
189198-65-0P 189198-66-1P 189198-67-2P
189198-68-3P 189198-69-4P 189198-70-7P
189198-71-8P 189198-72-9P 189198-73-0P
189198-74-1P 189198-75-2P 189198-76-3P
189198-77-4P 189198-78-5P 189198-79-6P
189198-80-9P 189199-16-4P 189199-17-5P
189199-18-6P 189199-19-7P 189199-20-0P
189199-21-1P 189199-33-5P 189199-34-6P
189199-35-7P 189199-36-8P 189199-37-9P
189199-38-0P 189199-39-1P 189199-40-4P
189199-46-0P 189199-47-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzene-fused heterocyclic derivs. as inhibitor of acyl-CoA:cholesterol acyltransferase and lipid peroxidn. for disease therapy)

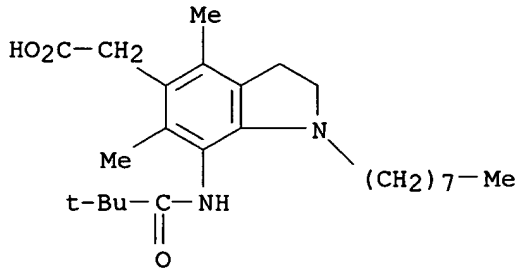
RN 189198-29-6 CAPLUS

CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, ethyl ester (9CI) (CA INDEX NAME)

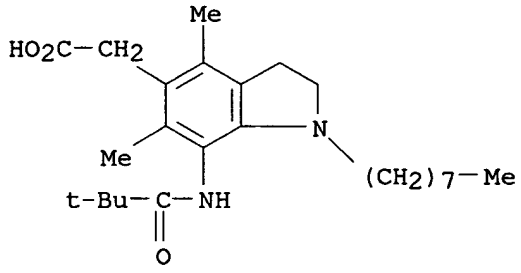


RN 189198-30-9 CAPLUS

CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



RN 189198-31-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, monohydrochloride (9CI) (CA INDEX NAME)

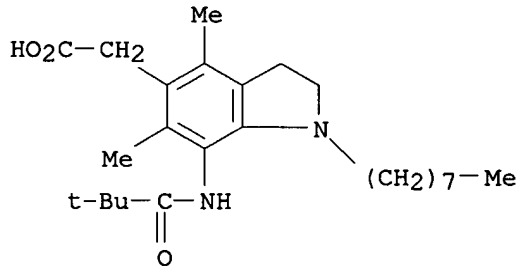


● HCl

RN 189198-32-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, sulfate (1:1) (9CI) (CA INDEX NAME)

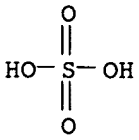
CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3



CM 2

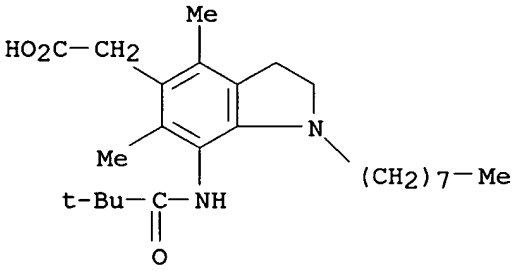
CRN 7664-93-9
CMF H2 O4 S



RN 189198-33-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, mononitrate (9CI) (CA INDEX NAME)

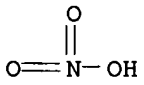
CM 1

CRN 189198-30-9
CMF C25 H40 N2 O3

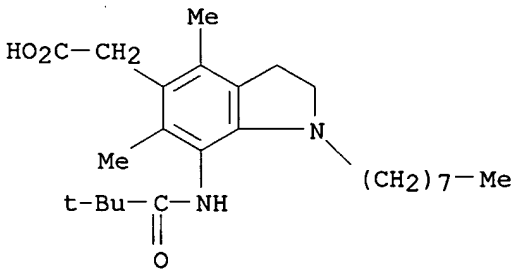


CM 2

CRN 7697-37-2
CMF H N O3



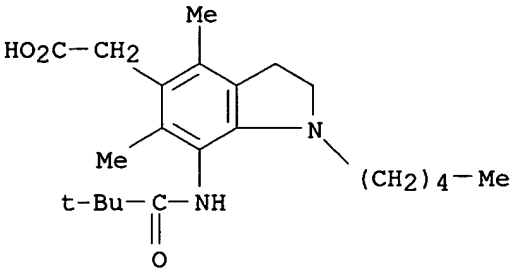
RN 189198-34-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-, monosodium salt (9CI) (CA INDEX NAME)



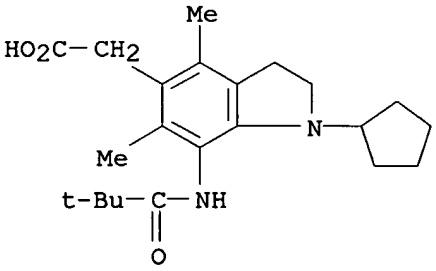
● Na

RN 189198-38-7 CAPLUS

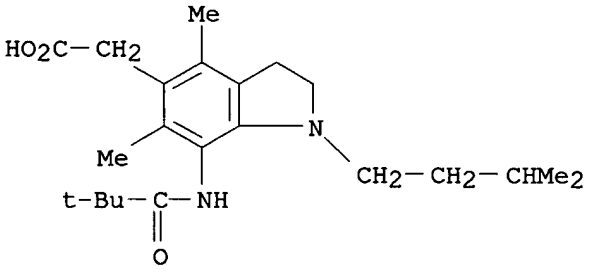
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-pentyl- (9CI) (CA INDEX NAME)



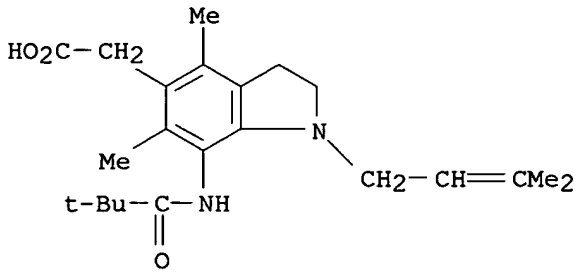
RN 189198-39-8 CAPLUS
CN 1H-Indole-5-acetic acid, 1-cyclopentyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



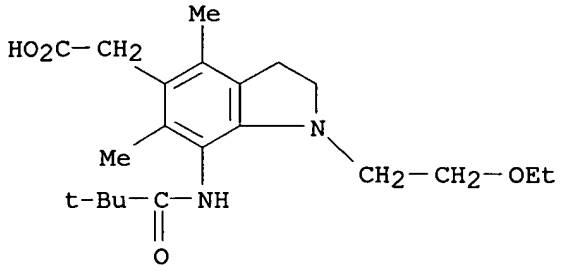
RN 189198-40-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(3-methylbutyl)- (9CI) (CA INDEX NAME)



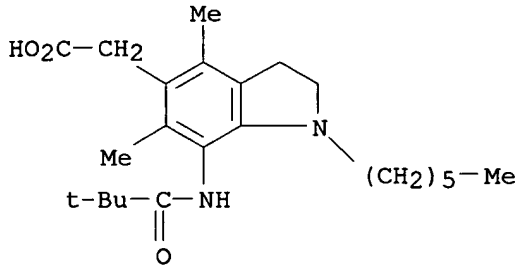
RN 189198-41-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)



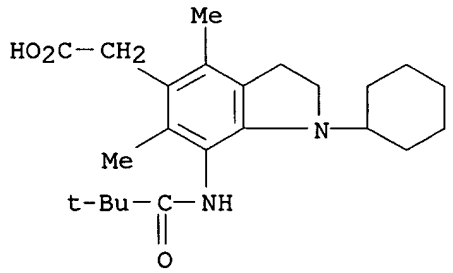
RN 189198-42-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



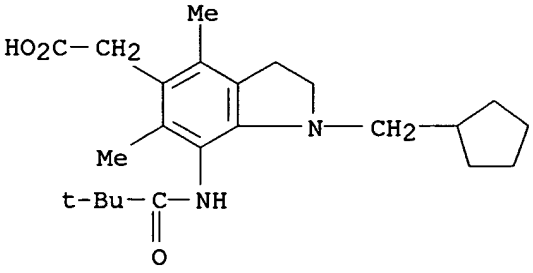
RN 189198-43-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



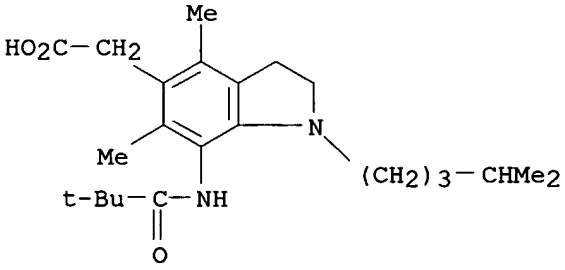
RN 189198-44-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-cyclohexyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



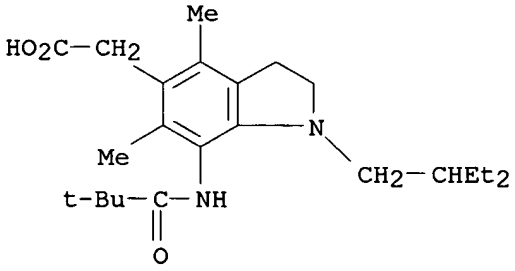
RN 189198-45-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(cyclopentylmethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



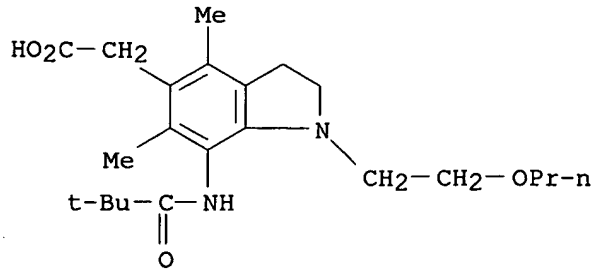
RN 189198-46-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(4-methylpentyl)- (9CI) (CA INDEX NAME)



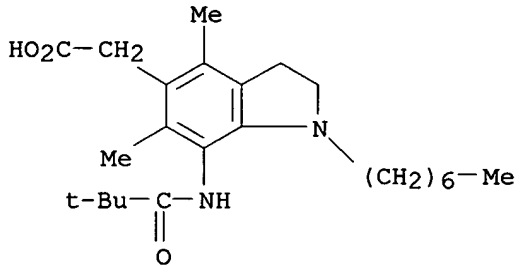
RN 189198-47-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethylbutyl)-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



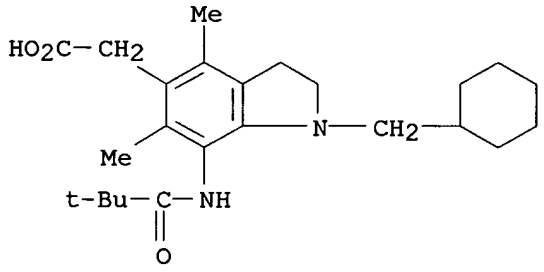
RN 189198-48-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(2-propoxyethyl)- (9CI) (CA INDEX NAME)



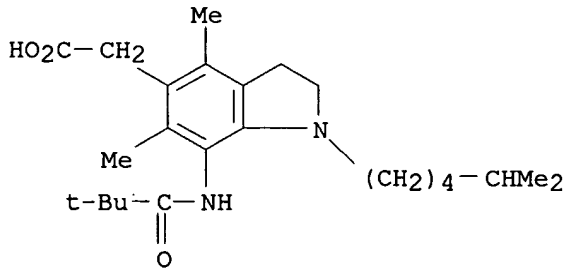
RN 189198-49-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



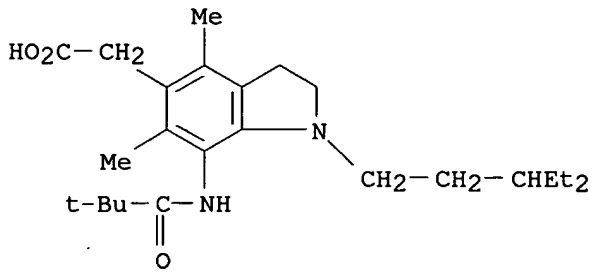
RN 189198-50-3 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(cyclohexylmethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



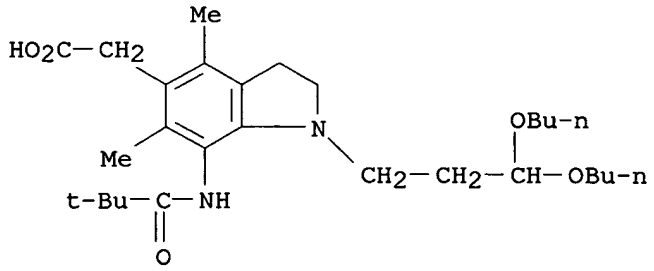
RN 189198-51-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(5-methylhexyl)- (9CI) (CA INDEX NAME)



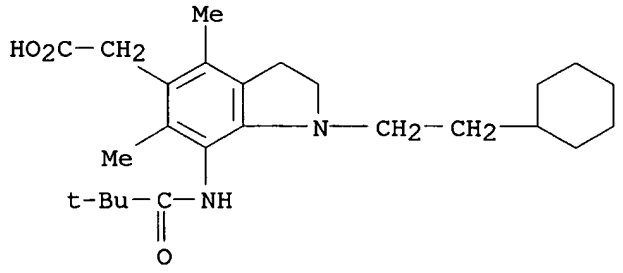
RN 189198-52-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(3-ethylpentyl)-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



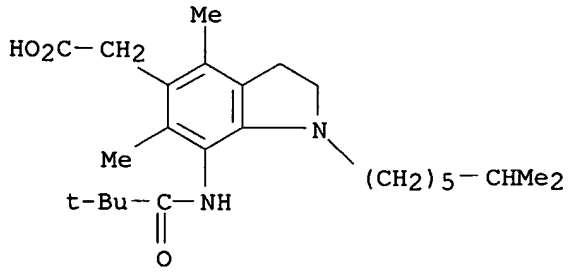
RN 189198-53-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(3,3-dibutoxypropyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



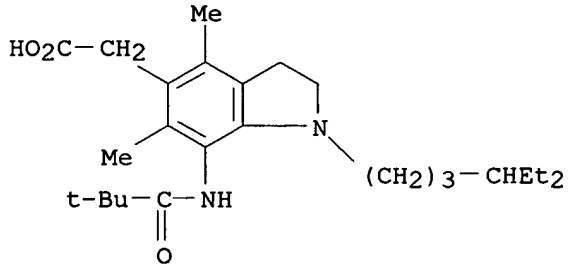
RN 189198-54-7 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(2-cyclohexylethyl)-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



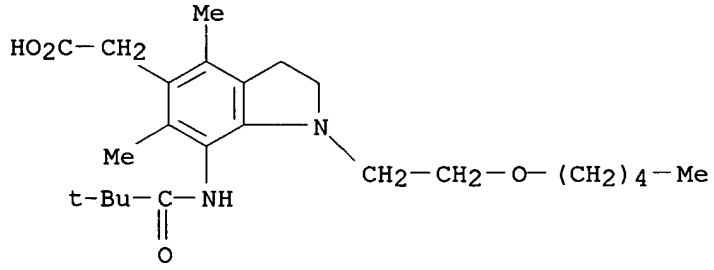
RN 189198-55-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(6-methylheptyl)- (9CI) (CA INDEX NAME)



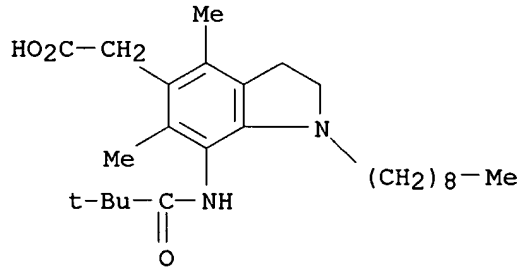
RN 189198-56-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(4-ethylhexyl)-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



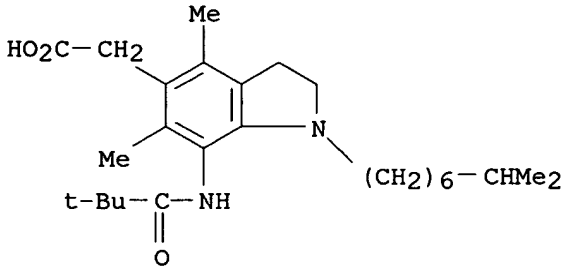
RN 189198-57-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-[2-(pentyloxy)ethyl]- (9CI) (CA INDEX NAME)



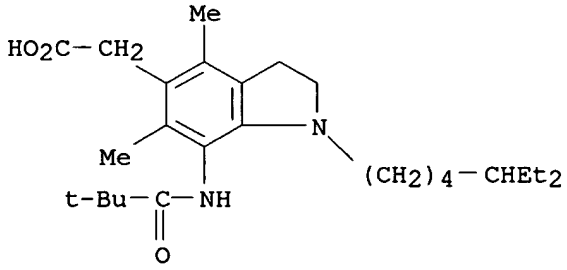
RN 189198-58-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-nonyl- (9CI) (CA INDEX NAME)



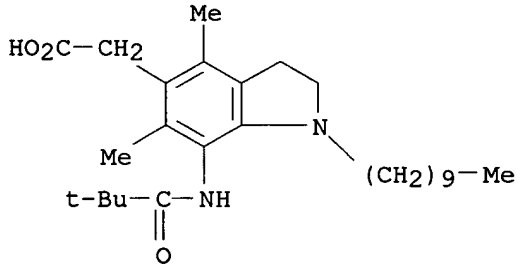
RN 189198-59-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(7-methyloctyl)- (9CI) (CA INDEX NAME)



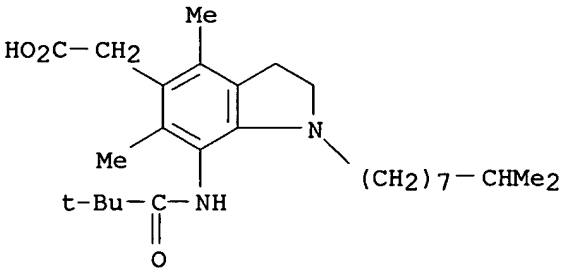
RN 189198-60-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(5-ethylheptyl)-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



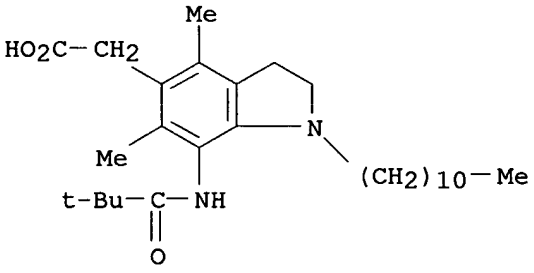
RN 189198-61-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



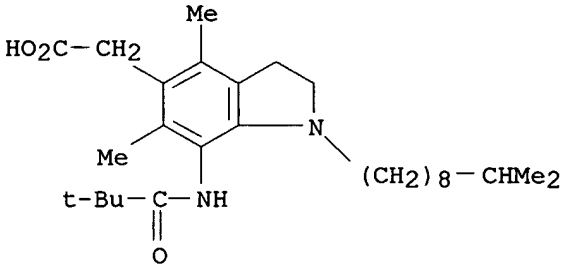
RN 189198-62-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(8-methylnonyl)- (9CI) (CA INDEX NAME)



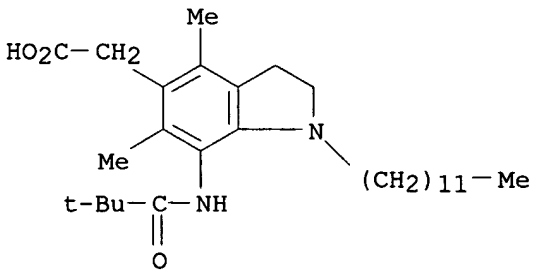
RN 189198-63-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-undecyl- (9CI) (CA INDEX NAME)



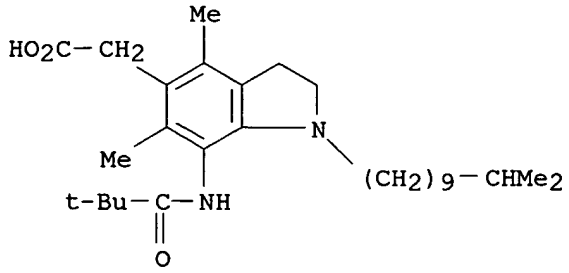
RN 189198-64-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(9-methyldecyl)- (9CI) (CA INDEX NAME)



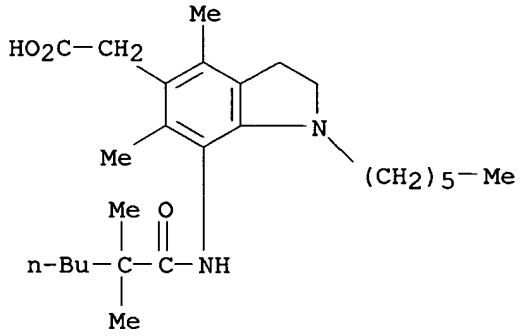
RN 189198-65-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-1-dodecyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



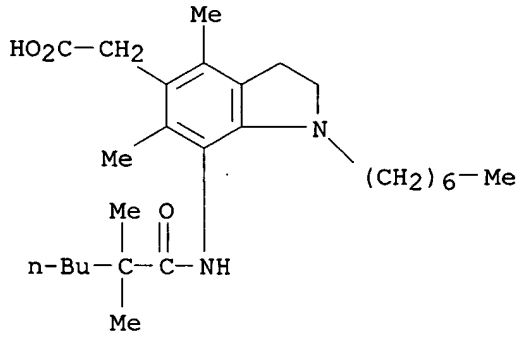
RN 189198-66-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(10-methylundecyl)- (9CI) (CA INDEX NAME)



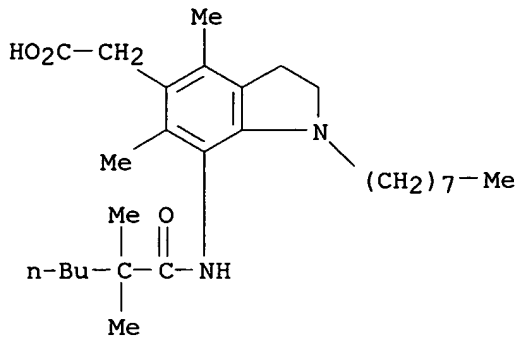
RN 189198-67-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



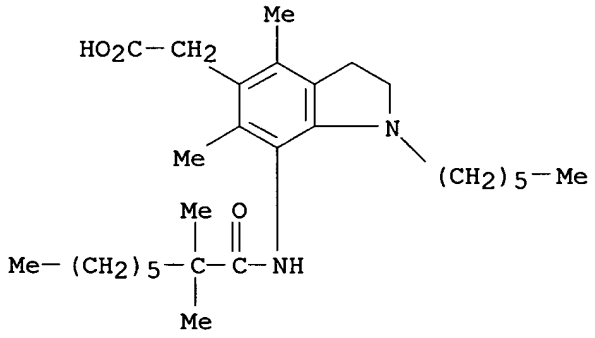
RN 189198-68-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



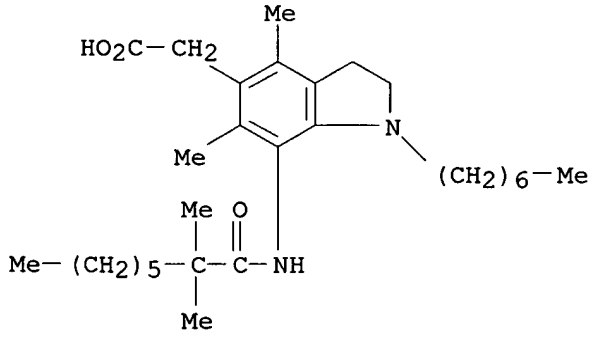
RN 189198-69-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxohexyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



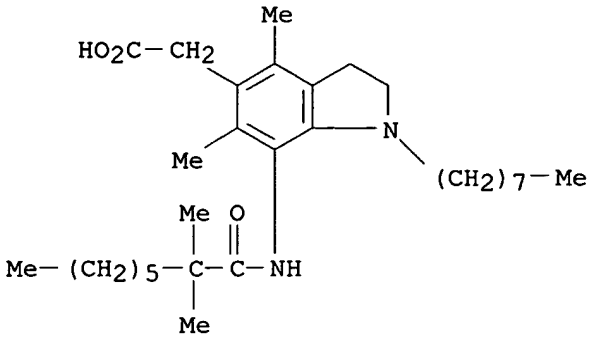
RN 189198-70-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



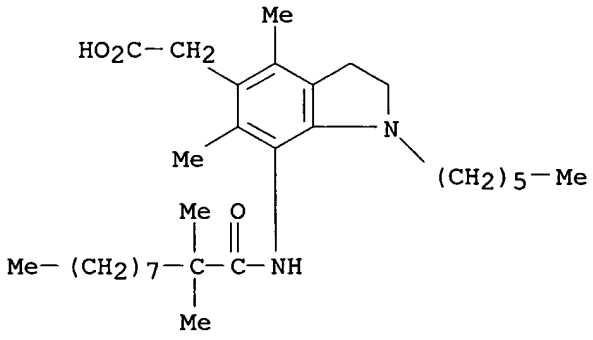
RN 189198-71-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



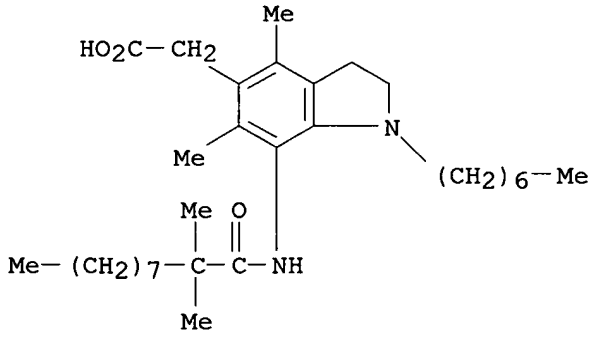
RN 189198-72-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxooctyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



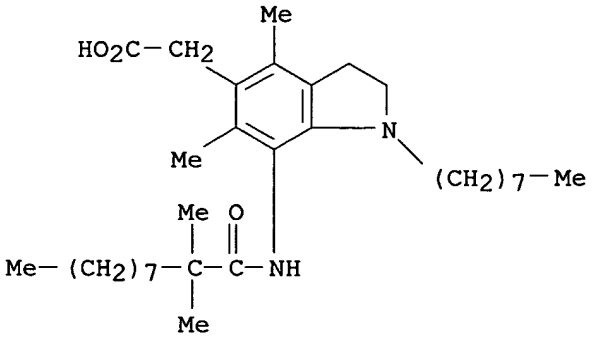
RN 189198-73-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-1-hexyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



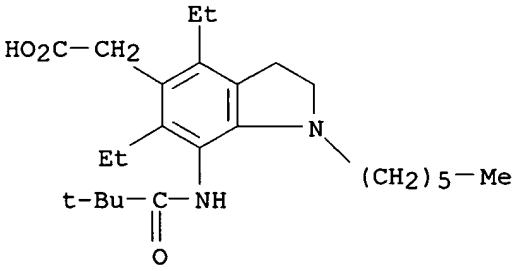
RN 189198-74-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-1-heptyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



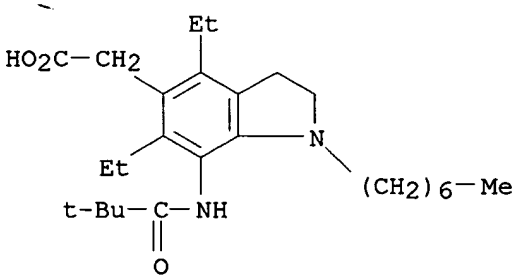
RN 189198-75-2 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxodecyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



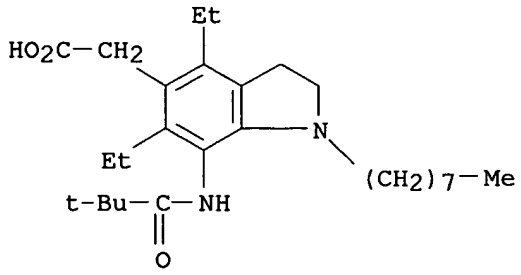
RN 189198-76-3 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-1-hexyl-2,3-dihydro- (9CI) (CA INDEX NAME)



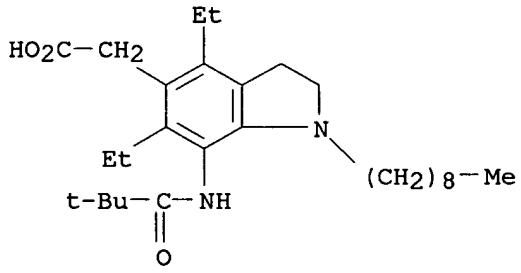
RN 189198-77-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-1-heptyl-2,3-dihydro- (9CI) (CA INDEX NAME)



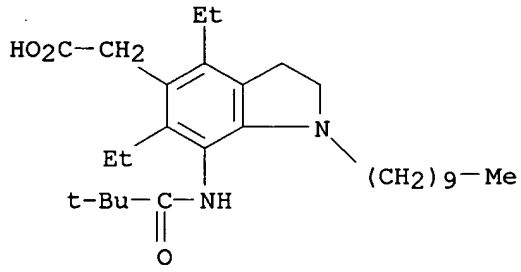
RN 189198-78-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro-1-octyl- (9CI) (CA INDEX NAME)



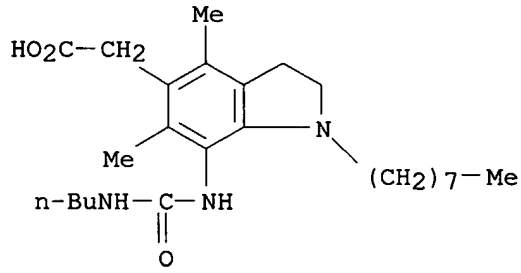
RN 189198-79-6 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro-1-nonyl- (9CI) (CA INDEX NAME)



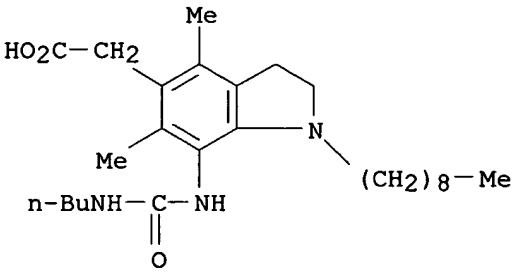
RN 189198-80-9 CAPLUS
CN 1H-Indole-5-acetic acid, 1-decyl-7-[(2,2-dimethyl-1-oxopropyl)amino]-4,6-diethyl-2,3-dihydro- (9CI) (CA INDEX NAME)



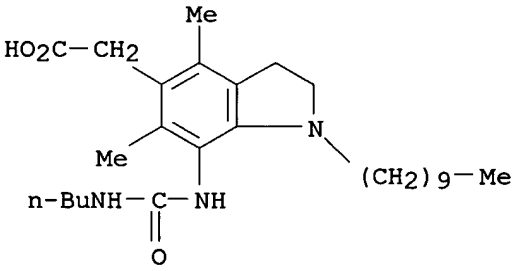
RN 189199-16-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



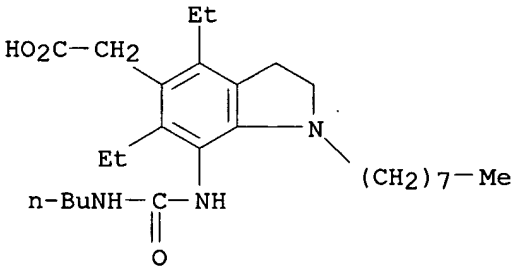
RN 189199-17-5 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-2,3-dihydro-4,6-dimethyl-1-nonyl- (9CI) (CA INDEX NAME)



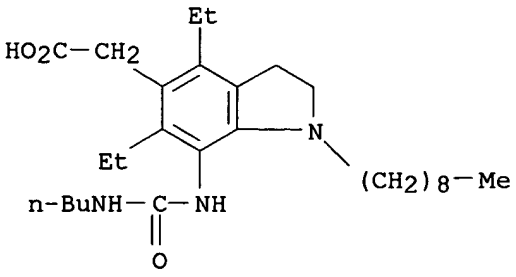
RN 189199-18-6 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-1-decyl-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



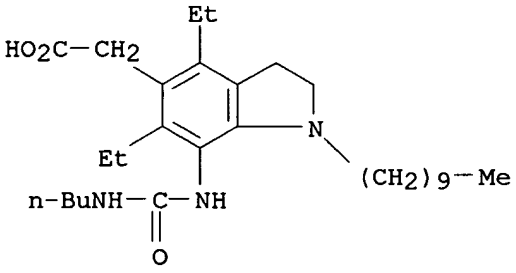
RN 189199-19-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-4,6-diethyl-2,3-dihydro-1-octyl- (9CI) (CA INDEX NAME)



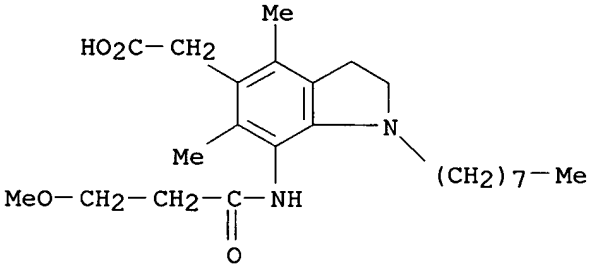
RN 189199-20-0 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-4,6-diethyl-2,3-dihydro-1-nonyl- (9CI) (CA INDEX NAME)



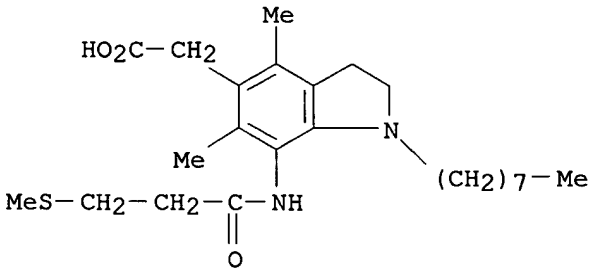
RN 189199-21-1 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[[(butylamino)carbonyl]amino]-1-decyl-4,6-diethyl-2,3-dihydro- (9CI) (CA INDEX NAME)



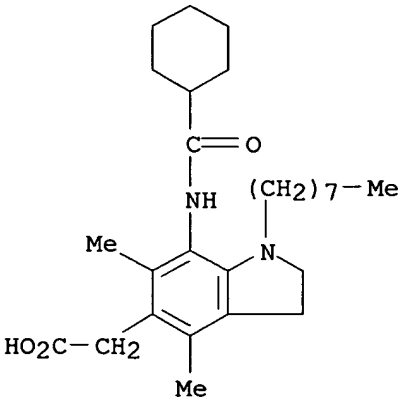
RN 189199-33-5 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-[(3-methoxy-1-oxopropyl)amino]-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



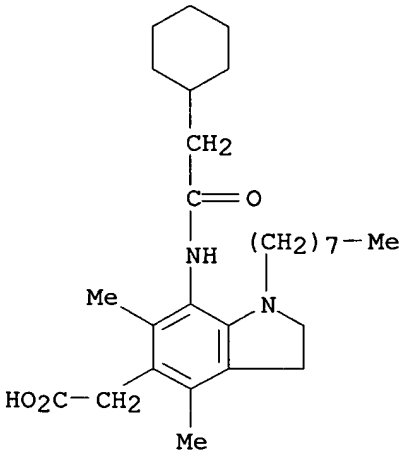
RN 189199-34-6 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-4,6-dimethyl-7-[[3-(methylthio)-1-oxopropyl]amino]-1-octyl- (9CI) (CA INDEX NAME)



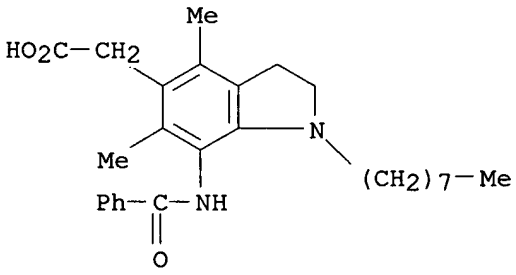
RN 189199-35-7 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(cyclohexylcarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)



RN 189199-36-8 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(cyclohexylacetyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)

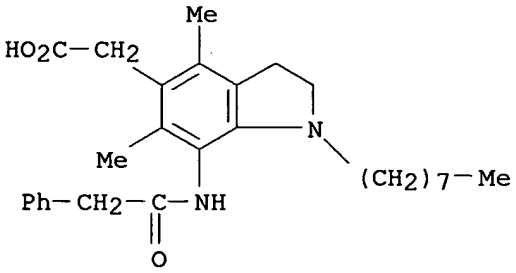


RN 189199-37-9 CAPLUS
CN 1H-Indole-5-acetic acid, 7-(benzoylamino)-2,3-dihydro-4,6-dimethyl-1-octyl- (9CI) (CA INDEX NAME)

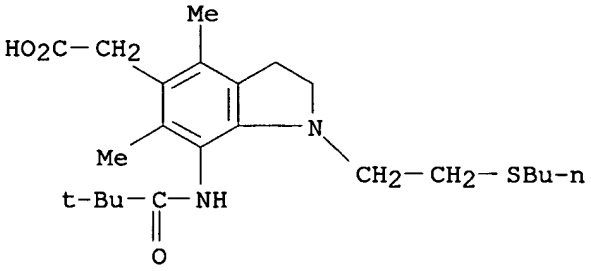


RN 189199-38-0 CAPLUS

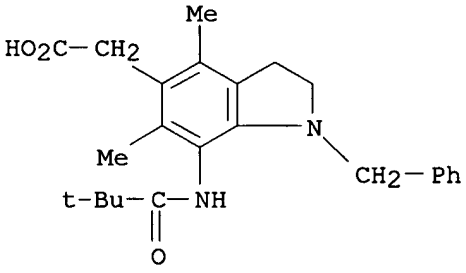
CN 1H-Indole-5-acetic acid, 2,3-dihydro-4,6-dimethyl-1-octyl-7-[(phenylacetyl)amino]- (9CI) (CA INDEX NAME)



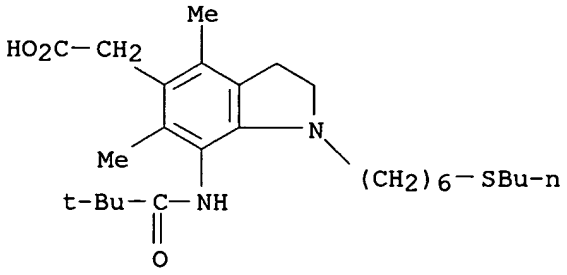
RN 189199-39-1 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[2-(butylthio)ethyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



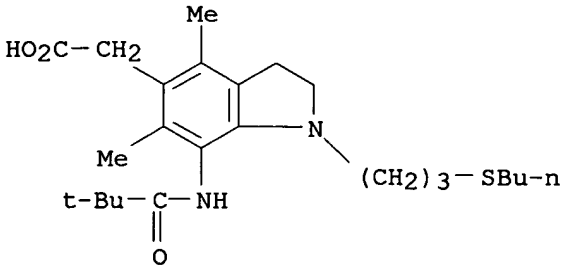
RN 189199-40-4 CAPLUS
CN 1H-Indole-5-acetic acid, 7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 189199-46-0 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[6-(butylthio)hexyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



RN 189199-47-1 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[3-(butylthio)propyl]-7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl- (9CI) (CA INDEX NAME)



=> fil casreact

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	49.41	210.95

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.57	-6.57

FILE 'CASREACT' ENTERED AT 10:19:20 ON 04 AUG 2005
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

FILE CONTENT:1840 - 31 Jul 2005 VOL 143 ISS 5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

****
*
* CASREACT now has more than 9.2 million reactions *
*
****

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s L1 full
FULL SEARCH INITIATED 10:19:28 FILE 'CASREACT'
SCREENING COMPLETE -     168 REACTIONS TO VERIFY FROM     14 DOCUMENTS

100.0% DONE     168 VERIFIED      27 HIT RXNS                          2 DOCS
SEARCH TIME: 00.00.01

L5             2 SEA SSS FUL L1 (     27 REACTIONS)

=> d L5 1-2 ibib abs hitstr
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'CASREACT'

The following are valid formats:

ABS -------- GI and AB
ALL -------- BIB, AB, IND, RE, Single-step Reactions
APPS ------- AI, PRAI
BIB -------- AN, plus Bibliographic Data
CAN -------- List of CA abstract numbers without answer numbers
CBIB ------- AN, plus Compressed Bibliographic Data
DALL ------- ALL, delimited (end of each field identified)
IABS ------- ABS, indented with text labels
IALL ------- ALL, indented with text labels
IBIB ------- BIB, indented with text labels
IND -------- Indexing data
IPC -------- International Patent Classifications
ISTD ------- STD, indented with text labels
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

MAX -------- Same as ALL
PATS ------- PI, SO
SCAN ------- TI and FCRD (random display, no answer number.  SCAN
             must be entered on the same line as DISPLAY, e.g.,
             D SCAN.)
SSRX ------- Single-Step Reactions (Map, Diagram, and Summary for
             all single-step reactions)
STD -------- BIB, IPC, and NCL

CRD -------- Compact Display of All Hit Reactions
CRDREF ----- Compact Reaction Display and SO, PY for Reference
FHIT ------- Reaction Map, Diagram, and Summary for first
             hit reaction
FHITCBIB --- FHIT, AN plus CBIB
FCRD ------- First hit in Compact Reaction Display (CRD) format
FCRDREF ---- First hit in Compact Reaction Display (CRD) format with
             CA reference information (SO, PY).  (Default)
FPATH ------ PATH, plus Reaction Summary for the "long path"
FSPATH ----- SPATH, plus Reaction Summary for the "short path"
HIT -------- Reaction Map, Reaction Diagram, and Reaction
             Summary for all hit reactions and fields containing
             hit terms
OCC -------- All hit fields and the number of occurrences of the
             hit terms in each field.  Includes total number of
             HIT, PATH, SPATH reactions. Labels reactions that have
             incomplete verifications.
```

PATH ------- Reaction Map and Reaction Diagram for the "long path". Displays all hit reactions, except those whose steps are totally included within another hit reaction which is displayed
RX --------- Hit Reactions (Map, Diagram, Summary for all hit reactions)
RXG -------- Hit Reaction Graphics (Map and Diagram for all hit reactions)
RXL -------- Hit Reaction Long (Map, Diagram, Summary for all hit reactions)
RXS -------- Hit Reaction Summariers (Map and Summary for all hit reactions)
SPATH ------ Reaction Map and Reaction Diagram for the "short path". Displays all single step reactions which contain a hit substance. Also displays those multistep reactions that have a hit substance in both the first and last steps of the reaction, except for those hit reactions whose steps are totally included within another hit reaction which is displayed

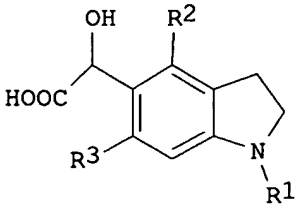
To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of combinations include: D TI; D BIB RX; D TI, AU, FCRD. The information is displayed in the same order as the specification. All of the formats, except CRD, CRDREF, FHIT, PATH, FPATH, SPATH, FSPATH, FCRD, FCRDREF, HIT, RX, RXG, RXS, SCAN, and OCC, may be used with the DISPLAY command to display the record for a specified Accession Number.

ENTER DISPLAY FORMAT (FCRDREF):ibib abs

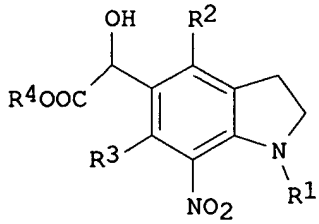
L5 ANSWER 1 OF 2 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:169415 CASREACT
TITLE: Preparation of indoline derivatives as acyl-coenzyme A:cholesterol acyltransferase inhibitors
INVENTOR(S): Tomori, Hiroshi; Miyamoto, Hiroshi; Fukuhara, Hiroshi; Sonobe, Ryuichi; Miura, Motoko; Shimura, Kazuhiko; Fujimoto, Katsuhiko; Wakayama, Masakazu
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062758	A1	20020815	WO 2002-JP804	20020201
W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, VN, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2437134	AA	20020815	CA 2002-2437134	20020201
JP 2002302482	A2	20021018	JP 2002-24877	20020201
EP 1364942	A1	20031126	EP 2002-710441	20020201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
CN 1501914	A	20040602	CN 2002-807883	20020201
RU 2252213	C2	20050520	RU 2003-124060	20020201
US 2004058979	A1	20040325	US 2003-635040	20030731
NO 2003003432	A	20031001	NO 2003-3432	20030801
PRIORITY APPLN. INFO.:			JP 2001-26374	20010202
			WO 2002-JP804	20020201

OTHER SOURCE(S): MARPAT 137:169415
GI



I



II

AB Novel intermediates such as I and II useful for synthesizing an indoline derivative having excellent acyl-CoA:cholesterol acyltransferase (ACAT) inhibitory activity are prepared (R1 = an amino-protecting group; R2 and R3 = lower alkyl; and R4 = H or a carboxy-protecting group). Reaction of 1-acetyl-4,6-dimethylindoline with glyoxylic acid, hydrogenolysis with Pd-C and esterification with saturated HCl-EtOH solution, followed by nitration, hydrogenation, reaction with pivaloyl chloride, deacetylation, reaction with octyl bromide and base hydrolysis gave N-(5-carboxymethyl-4,6-dimethyl-1-octylindolin-7-yl)-2,2-dimethylpropanamide sulfuric acid salt.

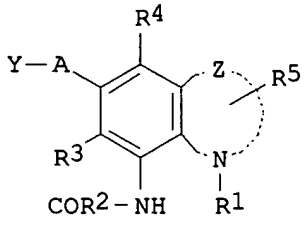
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:167280 CASREACT
TITLE: Preparation of 5-carboxymethylindolines
INVENTOR(S): Kamiya, Shoji; Matsui, Hiroshi
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

after filing U.S. date of 2/1/02

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002047269	A2	20020212	JP 2000-233250	20000801

PRIORITY APPLN. INFO.: JP 2000-233250 20000801
OTHER SOURCE(S): MARPAT 136:167280
GI



I

AB The compds. I (Y = CO2H; R1 = alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, etc.; R2, R3, R5 = H, lower alkyl, lower alkoxy; R4 = alkyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, etc.; A = alkylene; Z = CH2CH2, CH:CH) or

their salts, as ACAT and lipid peroxidn. inhibitors, are prepared by carbamoylation of cyano compds. I (Y = cyano; R1 = protecting group; R2, R3, R5, A, Z = same as above), reaction of I (Y = CONH2; R1 = H; R2, R3, R5, A, Z = same as above) or their salts with R1X (R1 = same as above; X = leaving group), and carboxylation of I (Y = CONH2; R1 = alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, etc.; R2, R3, R5, A, Z = same as above) or their salts. N-(1-acetyl-5-cyanomethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide was treated with NaOH in MeOH under reflux for 20 h and alkylated with n-octyl bromide in DMF in the presence of K2CO3 and KI at 40° for 24 h to give N-(5-carbamoylmethyl-4,6-dimethyl-1-octylindolin-7-yl)-2,2-dimethylpropanamide, which was treated with NaOH in PrOH at 90-100° for 12 h to give 98% N-(5-carboxymethyl-4,6-dimethyl-1-octylindolin-7-yl)-2,2-dimethylpropanamide sulfate .

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	111.55	322.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.36	-7.93

STN INTERNATIONAL LOGOFF AT 10:20:06 ON 04 AUG 2005